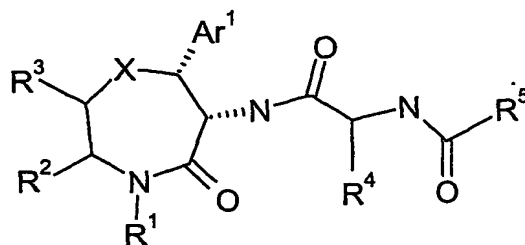


**Claims:**

1. A compound of formula (I):

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(I)

wherein:

X is C, O, NR<sup>1</sup>, SO<sub>2</sub> or S;

- 10 Ar<sup>1</sup> is a 5- or 6-membered aromatic or heterocyclic ring optionally substituted with 0, 1, 2, or 3 R<sup>e</sup> moieties, said ring having 0, 1, 2 or 3 nitrogen, oxygen or sulfur atoms, but no more than 2 oxygen atoms or 2 sulfur atoms or 1 oxygen and 1 sulfur atom;

R<sup>1</sup> is H, C<sub>1-3</sub>alkyl, C<sub>3-6</sub>cycloalkyl, C<sub>1-6</sub>alkyl, C<sub>3-6</sub>alkenyl, C<sub>3-6</sub>alkynyl, C<sub>3-6</sub>cycloalkyl, C<sub>2-4</sub>alkylNR<sup>a</sup>R<sup>b</sup>, C<sub>1-4</sub>alkylC(=O)R<sup>d</sup>, or C<sub>1-3</sub>alkylphenyl substituted with 0, 1, 2 or 3 R<sup>e</sup>;

- 15 R<sup>a</sup> and R<sup>b</sup> are at each occurrence independently selected from H, C<sub>1-4</sub>alkyl or C<sub>3-6</sub>cycloalkyl, or R<sup>a</sup> and R<sup>b</sup> and the N to which they are attached in combination form a 5 or 6-membered N-linked heterocycle having 2 nitrogen atoms, wherein the non-linked nitrogen is substituted with R<sup>c</sup> or 1 nitrogen and 1 oxygen, ring atoms wherein there is no non-linked nitrogen;

- 20 R<sup>c</sup> is, at each occurrence independently selected from H, C<sub>1-3</sub>alkyl, or substituted phenyl with 0, 1, 2, or 3 R<sup>e</sup>;

R<sup>d</sup> is, at each occurrence independently selected from C<sub>1-3</sub>alkyl, hydroxy, C<sub>1-3</sub>alkoxy, or NR<sup>a</sup>R<sup>b</sup>;

- 25 R<sup>e</sup> is, at each occurrence independently selected from H, OH, F, Cl, Br, I, CN, NO<sub>2</sub>, CF<sub>3</sub>, C<sub>1-6</sub>alkyl, or C<sub>1-6</sub>alkoxy;

R<sup>2</sup> and R<sup>3</sup> are at each occurrence independently selected from H, C<sub>1-6</sub>alkyl, C<sub>4-6</sub>cycloalkyl, aryl, or heteroaryl, or R<sup>2</sup> and R<sup>3</sup> in combination form a fused phenyl or cyclohexyl moiety that may be substituted with 0, 1 or 2 R<sup>f</sup> moieties,

R<sup>f</sup> is NO<sub>2</sub>, F, Cl, Br, I, CF<sub>3</sub>, CN, C<sub>1-6</sub>alkyl, or C<sub>1-6</sub>alkoxy;

$R^4$  is H,  $CHR^7R^8$ , 5- or 6- membered cycloalkyl, 5- or 6- membered heterocyclic, 5 or 6- membered aromatic ring optionally substituted with 0, 1, or 2  $R^f$  moieties, said heterocyclic ring having 0, 1, 2 or 3 nitrogen, oxygen or sulfur atoms, but no more than 2 oxygen atoms or 2 sulfur atoms or 1 oxygen and 1 sulfur atom;

5  $R^5$  is  $C_{1-3}$ alkyl $R^9$  or  $CH(OH)R^{10}$ ;

$R^7$  and  $R^8$  are, at each occurrence are independently selected from H,  $C_{1-4}$ alkyl, OH, SH,  $CH_2SCH_3$ ,  $CONH_2$ ,  $CH_2CONH_2$ ,  $CO_2H$ ,  $CH_2CO_2H$ ,  $(CH_2)_3NHCH(NH_2)_2$ ,  $C_{1-4}$ alkylamine, indole, imidazole, phenyl or hydroxyphenyl or  $R^7$  and  $R^8$  in combination form a 6-membered aromatic or heterocyclic ring optionally substituted with 0, 1 or 2  $R^f$  moieties  
10 said heterocyclic ring having 0, 1, 2 or 3 nitrogen, oxygen or sulfur atoms, but no more than 2 oxygen atoms or 2 sulfur atoms or 1 oxygen and 1 sulfur atom;

$R^9$  is phenyl substituted with 0, 1, 2 or 3  $R^e$ ;

$R^{10}$  is alkyl or  $R^9$ ;

or a pharmaceutically acceptable salt thereof.

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2. A compound of claim 1,  
wherein:

X is C, O,  $NR^1$ ,  $SO_2$  or S;

$Ar^1$  is a 5- or 6-membered aromatic or heterocyclic ring optionally substituted with 0,  
20 1, 2, or 3  $R^e$  moieties, said ring having 0, 1, or 2 nitrogen, oxygen or sulfur atoms, but no more than 2 oxygen atoms or 1 oxygen and 1 sulfur atom;

$R^1$  is H,  $C_{1-3}$ alkyl $C_{3-6}$ cycloalkyl,  $C_{1-6}$ alkyl,  $C_{3-6}$ alkenyl,  $C_{3-6}$ alkynyl,  $C_{3-6}$ cycloalkyl,  $C_{2-4}$ alkyl $NR^aR^b$ ,  $C_{1-4}$ alkyl $C(=O)R^d$ ; or  $C_{1-3}$ alkylphenyl substituted with 0, 1, or 2  $R^e$ ;

$R^a$  and  $R^b$  are, at each occurrence independently selected from H,  $C_{1-4}$ alkyl or  $C_{3-6}$ cycloalkyl, or  $R^a$  and  $R^b$  and the N to which they are attached in combination form a 6-  
25 membered N-linked heterocycle having 2 nitrogen atoms, wherein the non-linked nitrogen is substituted with  $R^e$  or 1 nitrogen and 1 oxygen, ring atoms wherein there is no non-linked nitrogen;

$R^e$  is, at each occurrence independently selected from H,  $C_{1-3}$ alkyl, or phenyl;

30  $R^d$  is, at each occurrence independently selected from  $C_{1-3}$ alkyl, or  $NR^aR^b$ ;

$R^e$  is, at each occurrence independently selected from H, OH, F, Cl, Br, I, CN,  $NO_2$ ,  $CF_3$ ,  $C_{1-3}$ alkyl, or  $C_{1-3}$ alkoxy;

$R^2$  and  $R^3$  are at each occurrence independently selected from H,  $C_{1-6}$ alkyl,  $C_{4-6}$  cycloalkyl, or aryl, or  $R^2$  and  $R^3$  in combination form a fused phenyl moiety that may be substituted with 0, 1 or 2  $R^f$  moieties,

$R^f$  is  $NO_2$ , F, Cl, Br, I,  $CF_3$ , CN,  $C_{1-3}$ alkyl, or  $C_{1-3}$ alkoxy;

5  $R^4$  is H,  $CHR^7R^8$ , 6- membered cycloalkyl, or 6- membered heterocyclic, or 6- membered aromatic ring optionally substituted with 0, 1, or 2  $R^f$  moieties, said heterocyclic ring having 0, 1, 2 or 3 nitrogen, oxygen or sulfur atoms, but no more than 2 oxygen atoms or 2 sulfur atoms or 1 oxygen and 1 sulfur atom;

$R^5$  is  $C_{1-3}$ alkyl $R^9$  or  $CH(OH)R^{10}$ ;

10  $R^7$  and  $R^8$  are, at each occurrence independently selected from H,  $C_{1-4}$ alkyl, OH,  $CONH_2$ ,  $CH_2CONH_2$ ,  $CO_2H$ ,  $CH_2CO_2H$ ,  $(CH_2)_3NHCH(NH_2)_2$ ,  $C_{1-4}$ alkylamine, indole, imidazole, phenyl or hydroxyphenyl or  $R^7$  and  $R^8$  in combination form a 6-membered aromatic or heterocyclic ring optionally substituted with 0, 1 or 2  $R^f$  moieties said heterocyclic ring having 0, 1, 2 or 3 nitrogen, oxygen or sulfur atoms, but no  
15 more than 2 oxygen atoms or 2 sulfur atoms or 1 oxygen and 1 sulfur atom;

$R^9$  is phenyl substituted with 0, 1, or 2  $R^e$ ;

$R^{10}$  is alkyl or  $R^9$ .

3. A compound of claim 1,

20 wherein:

X is C, O,  $NR^1$ ,  $SO_2$  or S;

$Ar^1$  is a 5- or 6-membered aromatic or heterocyclic ring optionally substituted with 0, 1, 2, or 3  $R^e$  moieties, said ring having 0, 1, or 2 nitrogen, oxygen or sulfur atoms, but no more than 2 oxygen atoms or 1 oxygen and 1 sulfur atom;

25  $R^1$  is H,  $C_{1-3}$ alkyl $C_{3-6}$ cycloalkyl,  $C_{1-6}$ alkyl,  $C_{3-6}$ alkenyl,  $C_{3-6}$ alkynyl  $C_{3-6}$ cycloalkyl,  $C_{2-4}$ alkyl $NR^aR^b$ ,  $C_{1-4}$ alkyl $C(=O)R^d$ ; or  $C_{1-3}$ alkylphenyl substituted with 0, 1, or 2  $R^e$ ;

$R^a$  and  $R^b$  are, at each occurrence independently selected from H,  $C_{1-4}$ alkyl or  $C_{3-6}$ cycloalkyl, or  $R^a$  and  $R^b$  and the N to which they are attached in combination form a 5-membered N-linked heterocycle having 2 nitrogen atoms, wherein the non-linked nitrogen is  
30 substituted with  $R^e$  or 1 nitrogen and 1 oxygen, ring atoms wherein there is no non-linked nitrogen;

$R^e$  is, at each occurrence independently selected from H,  $C_{1-3}$ alkyl, phenyl;

$R^d$  is, at each occurrence independently selected from  $C_{1-3}$ alkyl or  $NR^aR^b$ ;

$R^e$  is, at each occurrence independently selected from H, OH, F, Cl, Br, I, CN, NO<sub>2</sub>, CF<sub>3</sub>, C<sub>1-6</sub>alkyl, or C<sub>1-6</sub>alkoxy;

$R^2$  and  $R^3$  are at each occurrence independently selected from H, C<sub>1-6</sub>alkyl, C<sub>4-6</sub>cycloalkyl or aryl or  $R^2$  and  $R^3$  in combination form a fused phenyl moiety that may be substituted with 0, 1 or 2  $R^f$  moieties,

$R^f$  is H, NO<sub>2</sub>, F, Cl, Br, I, CF<sub>3</sub>, C<sub>1-6</sub>alkyl, or C<sub>1-6</sub>alkoxy;

$R^4$  is H, CHR<sup>7</sup>R<sup>8</sup>, or 6-membered heterocyclic, or 6-membered aromatic ring optionally substituted with 0, 1, or 2  $R^f$  moieties, said heterocyclic ring having 0, 1, 2 or 3 nitrogen, oxygen or sulfur atoms, but no more than 2 oxygen atoms or 2 sulfur atoms or 1 oxygen and 1 sulfur atom;

$R^4$  is H or CHR<sup>7</sup>R<sup>8</sup>;

$R^5$  is C<sub>1-3</sub>alkylR<sup>9</sup> or CH(OH)R<sup>10</sup>;

$n$  is 0, 1 or 2;

$R^7$  and  $R^8$  are, at each occurrence independently selected from H, C<sub>1-4</sub>alkyl, OH, CONH<sub>2</sub>, CH<sub>2</sub>CONH<sub>2</sub>, CO<sub>2</sub>H, CH<sub>2</sub>CO<sub>2</sub>H, (CH<sub>2</sub>)<sub>3</sub>NHCH(NH<sub>2</sub>)<sub>2</sub>, C<sub>1-4</sub>alkylamine, indole, imidazole, phenyl or hydroxyphenyl or  $R^7$  and  $R^8$  in combination form a 6-membered aromatic or heterocyclic ring optionally substituted with 0, 1 or 2  $R^f$  moieties said heterocyclic ring having 0, 1, or 2 nitrogen, oxygen or sulfur atoms;

$R^9$  is phenyl substituted with 1, or 2  $R^e$ ;

$R^{10}$  is alkyl or phenyl substituted with 1, or 2  $R^e$ .

4. A compound of claim 1,  
wherein:

X is C, O, NR<sup>1</sup>, SO<sub>2</sub> or S;

Ar<sup>1</sup> is a 5- or 6-membered aromatic or heterocyclic ring optionally substituted with 0, 1, 2, or 3  $R^e$  moieties, said ring having 0, 1, or 2 nitrogen, oxygen or sulfur atoms, but no more than 1 oxygen and 1 sulfur atom;

$R^1$  is H, C<sub>1-3</sub>alkylC<sub>3-6</sub>cycloalkyl, C<sub>1-6</sub>alkyl, C<sub>3-6</sub>alkenyl, C<sub>3-6</sub>alkynyl C<sub>3-6</sub>cycloalkyl, C<sub>2-4</sub>alkylNR<sup>a</sup>R<sup>b</sup>, C<sub>1-4</sub>alkylC(=O)R<sup>d</sup>; or C<sub>1-3</sub>alkylphenyl substituted with 0, or 1  $R^e$ ;

$R^a$  and  $R^b$  are, at each occurrence independently selected from H, C<sub>1-4</sub>alkyl or C<sub>5-6</sub>cycloalkyl, or  $R^a$  and  $R^b$  and the N to which they are attached in combination form a 6-membered N-linked heterocycle having 2 nitrogen atoms, wherein the non-linked nitrogen is

substituted with R<sup>c</sup> or 1 nitrogen and 1 oxygen, ring atoms wherein there is no non-linked nitrogen;

R<sup>c</sup> is, at each occurrence independently selected from H, C<sub>1-3</sub>alkyl;

R<sup>d</sup> is, at each occurrence independently selected from C<sub>1-3</sub>alkyl;

5 R<sup>e</sup> is, at each occurrence independently selected from H, OH, F, Cl, Br, I, CN, NO<sub>2</sub>, CF<sub>3</sub>, C<sub>1-6</sub>alkyl;

R<sup>2</sup> and R<sup>3</sup> are at each occurrence independently selected from H, C<sub>1-6</sub>alkyl, or R<sup>2</sup> and R<sup>3</sup> in combination form a fused phenyl moiety that may be substituted with 0, 1 or 2 R<sup>f</sup> moieties,

10 R<sup>f</sup> is H, F, Cl, Br, I, CF<sub>3</sub>, C<sub>1-6</sub>alkyl;

R<sup>4</sup> is H, CHR<sup>7</sup>R<sup>8</sup>, or 6- membered heterocyclic, or 6- membered aromatic ring optionally substituted with 0, 1, or 2 R<sup>f</sup> moieties, said heterocyclic ring having 0, 1, or 2 nitrogen, oxygen or sulfur atoms;

R<sup>5</sup> is C<sub>1-3</sub>alkylR<sup>9</sup> or CH(OH)R<sup>10</sup>;

15 n is 0, 1 or 2;

R<sup>7</sup> and R<sup>8</sup> are, at each occurrence independently selected from H, C<sub>1-4</sub>alkyl, OH, CONH<sub>2</sub>, CH<sub>2</sub>CONH<sub>2</sub>, CO<sub>2</sub>H, CH<sub>2</sub>CO<sub>2</sub>H, (CH<sub>2</sub>)<sub>3</sub>NHCH(NH<sub>2</sub>)<sub>2</sub>, C<sub>1-4</sub>alkylamine, indole, imidazole, phenyl or hydroxyphenyl or R<sup>7</sup> and R<sup>8</sup> in combination form a 6-membered aromatic or heterocyclic ring optionally substituted with 0, 1 or 2 R<sup>f</sup> moieties said heterocyclic ring having 0, 1, or 2 nitrogen, or oxygen atoms;

20

R<sup>9</sup> is phenyl substituted with 1, or 2 R<sup>e</sup>;

R<sup>10</sup> is alkyl or R<sup>9</sup>.

5. A compound of claim 1, wherein:

25 X is C, O, SO<sub>2</sub> or S;

Ar<sup>1</sup> is a 5- or 6-membered aromatic or heterocyclic ring optionally substituted with 0, 1, or 2 R<sup>e</sup> moieties, said ring having 0, 1, or 2 nitrogen, oxygen or sulfur atoms;

R<sup>1</sup> is H, C<sub>1-3</sub>alkylC<sub>3-6</sub>cycloalkyl, C<sub>1-6</sub>alkyl, C<sub>3-6</sub>alkenyl, C<sub>3-6</sub>alkynyl C<sub>3-6</sub>cycloalkyl, C<sub>2-4</sub>alkylNR<sup>a</sup>R<sup>b</sup>, C<sub>1-4</sub>alkylC(=O)R<sup>d</sup>;

30 R<sup>a</sup> and R<sup>b</sup> are, at each occurrence independently selected from H, C<sub>1-4</sub>alkyl or C<sub>5-6</sub>cycloalkyl, or R<sup>a</sup> and R<sup>b</sup> and the N to which they are attached in combination form a 6-membered N-linked heterocycle having 2 nitrogen atoms, wherein the non-linked nitrogen is

substituted with R<sup>e</sup> or 1 nitrogen and 1 oxygen, ring atoms wherein there is no non-linked nitrogen;

R<sup>d</sup> is, at each occurrence independently selected from C<sub>1-3</sub>alkyl;

R<sup>e</sup> is, at each occurrence independently selected from H, OH, F, Cl, Br, I, NO<sub>2</sub>, CF<sub>3</sub>,  
5 or C<sub>1-6</sub>alkyl;

R<sup>2</sup> and R<sup>3</sup> are at each occurrence independently selected from C<sub>1-6</sub>alkyl or R<sup>2</sup> and R<sup>3</sup> in combination form a fused phenyl moiety that may be substituted with 0, 1 or 2 R<sup>f</sup> moieties,

R<sup>f</sup> is H, F, Cl, Br, I, CF<sub>3</sub>;

R<sup>4</sup> is H, CHR<sup>7</sup>R<sup>8</sup>, or 6- membered heterocyclic, or 6- membered aromatic ring  
10 optionally substituted with 0, 1, or 2 R<sup>f</sup> moieties, said heterocyclic ring having 0, 1, or 2 nitrogen, or oxygen atoms;

R<sup>5</sup> is C<sub>1-3</sub>alkylR<sup>9</sup> or CH(OH)R<sup>10</sup>;

R<sup>7</sup> and R<sup>8</sup> are, at each occurrence independently selected from H, C<sub>1-4</sub>alkyl, OH, CONH<sub>2</sub>, CH<sub>2</sub>CONH<sub>2</sub>, CO<sub>2</sub>H, C<sub>1-4</sub>alkylamine, phenyl or hydroxyphenyl or R<sup>7</sup> and R<sup>8</sup> in  
15 combination form a 6-membered aromatic or heterocyclic ring optionally substituted with 0, 1 or 2 R<sup>f</sup> moieties said heterocyclic ring having 0, 1, or 2 nitrogen, or oxygen atoms;

R<sup>9</sup> is phenyl substituted with 1, or 2 R<sup>e</sup>;

R<sup>10</sup> is alkyl or R<sup>9</sup>.

20 6. A compound of claim 1, wherein:

X is C, O, SO<sub>2</sub> or S;

Ar<sup>1</sup> is a 6-membered aromatic or heterocyclic ring optionally substituted with 0, 1, or 2 R<sup>e</sup> moieties, said ring having 0, or 1 nitrogen, oxygen or sulfur atoms;

R<sup>1</sup> is H, C<sub>1-3</sub>alkylC<sub>3-6</sub>cycloalkyl, C<sub>1-6</sub>alkyl, C<sub>3-6</sub>alkenyl, C<sub>3-6</sub>alkynyl C<sub>3-6</sub>cycloalkyl,  
25 C<sub>2-4</sub>alkylNR<sup>a</sup>R<sup>b</sup>, C<sub>1-4</sub>alkylC(=O)R<sup>d</sup>;

R<sup>a</sup> and R<sup>b</sup> are, at each occurrence independently selected from H, C<sub>1-4</sub>alkyl or C<sub>5-6</sub>cycloalkyl or R<sup>a</sup> and R<sup>b</sup> and the N to which they are attached in combination form a 6-membered N-linked heterocycle having 1 nitrogen and 1 oxygen, ring atom, wherein there is no non-linked nitrogen;

30 R<sup>d</sup> is, at each occurrence independently selected from C<sub>1-3</sub>alkyl;

R<sup>e</sup> is, at each occurrence independently selected from H, OH, F, Cl, Br, I, CF<sub>3</sub>;

R<sup>2</sup> and R<sup>3</sup> are combined to form a fused phenyl moiety substituted with 0, 1 or 2 R<sup>f</sup> moieties,

$R^f$  is H, F, Cl, Br, I, or  $CF_3$ ;

$R^4$  is H,  $CHR^7R^8$ , or 6-membered heterocyclic, or 6-membered aromatic ring optionally substituted with 0, 1, or 2  $R^f$  moieties, said heterocyclic ring having 0, or 1, nitrogen, or oxygen atoms;

5  $R^5$  is  $C_{1-3}alkylR^9$  or  $CH(OH)R^{10}$ ;

$R^7$  and  $R^8$  are, at each occurrence independently selected from H, OH, or  $R^7$  and  $R^8$  in combination form a 6-membered aromatic or heterocyclic ring optionally substituted with 0, 1 or 2  $R^f$  moieties said heterocyclic ring having 0, or 1, nitrogen, or oxygen atoms;

$R^9$  is phenyl substituted with 2  $R^e$ ;

10  $R^{10}$  is phenyl substituted with 2  $R^e$ .

7. A compound of claim 1, wherein:

X is C, O, or S;

15  $Ar^1$  is a 6-membered aromatic or heterocyclic ring optionally substituted with 0, 1, or 2  $R^e$  moieties, said ring having 0, or 1 nitrogen, or oxygen atoms;

$R^1$  is H,  $C_{1-3}alkylC_{3-6}cycloalkyl$ ,  $C_{1-6}alkyl$ ,  $C_{3-6}alkenyl$ ,  $C_{3-6}alkynyl$ ,  $C_{3-6}cycloalkyl$ ,  $C_{2-4}alkylNR^aR^b$ ;

20  $R^a$  and  $R^b$  are, at each occurrence independently selected from H,  $C_{1-4}alkyl$  or  $C_{5-6}cycloalkyl$  or  $R^a$  and  $R^b$  and the N to which they are attached in combination form a 6-membered N-linked heterocycle having 1 nitrogen and 1 oxygen, ring atom, wherein there is no non-linked nitrogen;

$R^2$  and  $R^3$  are combined to form a fused phenyl moiety substituted with 0, 1 or 2  $R^f$ ;

$R^e$  is, at each occurrence independently selected from H, OH, F, Cl, Br, I,  $CF_3$ ;

$R^f$  is F or Cl;

25  $R^4$  is H,  $CHR^7R^8$ , or 6-membered aromatic ring optionally substituted with 0, 1, or 2  $R^f$  moieties;

$R^5$  is  $C_{1-3}alkylR^9$  or  $CH(OH)R^{10}$ ;

30  $R^7$  and  $R^8$  are, at each occurrence independently selected from H, OH, or  $R^7$  and  $R^8$  in combination form a 6-membered aromatic ring optionally substituted with 0, 1 or 2  $R^f$  moieties

$R^7$  and  $R^8$  are, at each occurrence independently selected from H or OH;

$R^9$  is phenyl substituted with 2  $R^e$ ;

$R^{10}$  is phenyl substituted with 2  $R^e$ .

8. A compound of claim 1, wherein:

X is O or C or S;

Ar<sup>1</sup> is a 6-membered aromatic or heterocyclic ring optionally substituted with 0, 1, or 2 R<sup>e</sup> moieties, said ring having having 0, or 1 nitrogen atom;

R<sup>1</sup> is H, C<sub>1-3</sub>alkylC<sub>3-6</sub>cycloalkyl, C<sub>1-6</sub>alkyl, C<sub>3-6</sub>alkenyl, C<sub>3-6</sub>alkynyl C<sub>3-6</sub>cycloalkyl, C<sub>2-4</sub>alkylNR<sup>a</sup>R<sup>b</sup>;

R<sup>a</sup> and R<sup>b</sup> are, at each occurrence independently selected from H, C<sub>1-4</sub>alkyl or C<sub>5-6</sub>cycloalkyl or R<sup>a</sup> and R<sup>b</sup> and the N to which they are attached in combination form a 6-membered N-linked heterocycle having 1 nitrogen and 1 oxygen, ring atom, wherein there is no non-linked nitrogen;

R<sup>2</sup> and R<sup>3</sup> are combined to form a fused phenyl moiety substituted with 0, 1 or 2 R<sup>f</sup> wherein R<sup>f</sup> is F or Cl;

R<sup>4</sup> is H, CH<sub>3</sub>, or a 6-membered aromatic ring optionally substituted with 0, 1, or 2 R<sup>f</sup> moieties;

R<sup>5</sup> is C<sub>1-3</sub>alkylR<sup>9</sup>;

R<sup>e</sup> is, at each occurrence independently selected from H, OH, F, Cl, Br, I, CF<sub>3</sub>;

R<sup>9</sup> is phenyl substituted with 2 R<sup>e</sup>.

20 9. A compound of claim 1, wherein:

X is O or C;

Ar<sup>1</sup> is a 6-membered aromatic ring optionally substituted with 0, 1, or 2 R<sup>e</sup> moieties;

R<sup>1</sup> is H, C<sub>1-3</sub>alkylC<sub>3-6</sub>cycloalkyl, C<sub>1-6</sub>alkyl, C<sub>3-6</sub>alkenyl, C<sub>3-6</sub>alkynyl C<sub>3-6</sub>cycloalkyl, C<sub>2-4</sub>alkylNR<sup>a</sup>R<sup>b</sup>;

25 R<sup>a</sup> and R<sup>b</sup> are, at each occurrence independently selected from H, C<sub>1-4</sub>alkyl or C<sub>5-6</sub>cycloalkyl or R<sup>a</sup> and R<sup>b</sup> and the N to which they are attached in combination form a 6-membered N-linked heterocycle having 1 nitrogen and 1 oxygen, ring atom, wherein there is no non-linked nitrogen;

R<sup>2</sup> and R<sup>3</sup> are combined to form a fused phenyl moiety substituted with 0, 1 or 2 R<sup>f</sup> wherein R<sup>f</sup> is F or Cl;

30 R<sup>4</sup> is H, CH<sub>3</sub>, or a 6-membered aromatic ring optionally substituted with 0, 1, or 2 R<sup>f</sup> moieties;

R<sup>5</sup> is C<sub>1-3</sub>alkylR<sup>9</sup>;



R<sup>e</sup> is, at each occurrence independently selected from H, OH, F, Cl, Br, I, CF<sub>3</sub>;

R<sup>g</sup> is phenyl substituted with 2 R<sup>e</sup>.

10. A compound of claim 1, wherein:

5 X is O;

Ar<sup>1</sup> is a 6-membered aromatic ring optionally substituted with 0, 1, or 2 R<sup>e</sup> moieties;

R<sup>1</sup> is C<sub>1-3</sub>alkylC<sub>3-6</sub>cycloalkyl, C<sub>1-6</sub>alkyl, C<sub>3-6</sub>alkenyl, C<sub>3-6</sub>alkynyl;

R<sup>2</sup> and R<sup>3</sup> are combined to form a fused phenyl moiety substituted with 0, 1 or 2 R<sup>f</sup> wherein R<sup>f</sup> is F or Cl;

10 R<sup>4</sup> is H, CH<sub>3</sub>, or a 6-membered aromatic ring optionally substituted with 0, 1, or 2 R<sup>f</sup> moieties;

R<sup>5</sup> is C<sub>1-3</sub>alkylR<sup>g</sup>;

R<sup>e</sup> is, at each occurrence independently selected from H, OH, F, Cl, Br, I, CF<sub>3</sub>;

R<sup>g</sup> is phenyl substituted with 2 R<sup>e</sup>.

15

11. A compound of claim 1, wherein X is C, O, SO<sub>2</sub> or S.

12. A compound of claim 1, wherein:

20 Ar<sup>1</sup> is a 5- or 6-membered aromatic or heterocyclic ring optionally substituted with 0 or 1 R<sup>e</sup>.

13. A compound of claim 1, wherein:

R<sup>1</sup> is C<sub>1-3</sub>alkylC<sub>3-6</sub>cycloalkyl, C<sub>1-6</sub>alkyl, C<sub>3-6</sub>alkenyl, C<sub>3-6</sub>alkynyl.

25 14. A compound of claim 1, wherein:

R<sup>a</sup> and R<sup>b</sup> are, at each occurrence independently selected from H, C<sub>1-4</sub>alkyl or C<sub>5-6</sub>cycloalkyl or R<sup>a</sup> and R<sup>b</sup> and the N to which they are attached in combination form a 6-membered N-linked heterocycle having 1 nitrogen and 1 oxygen, ring atom, wherein there is no non-linked nitrogen.

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15. A compound of claim 1, wherein:

R<sup>2</sup> and R<sup>3</sup> are combined to form a fused phenyl moiety substituted with 0, 1 or 2 R<sup>f</sup>.

16. A compound of claim 1, wherein R<sup>e</sup> is, at each occurrence independently selected from F or Cl.

17. A compound of claim 1, wherein R<sup>f</sup> is F or Cl.

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18. A compound of claim 1, wherein R<sup>4</sup> is H or CHR<sup>7</sup>R<sup>8</sup> or a 6-membered aromatic ring optionally substituted with 0, 1, or 2 R<sup>f</sup> moities wherein R<sup>7</sup> and R<sup>8</sup> are, at each occurrence independently selected from H or OH.

10 19. A compound of claim 1, wherein R<sup>4</sup> is a 6-membered aromatic ring optionally substituted with 0, 1, or 2 R<sup>f</sup> moities wherein R<sup>f</sup> is halo.

20. A compound of claim 1, wherein R<sup>5</sup> is C<sub>1-3</sub>alkylR<sup>9</sup> or CH(OH)R<sup>10</sup>.

15 21. A compound of claim 1, wherein R<sup>7</sup> and R<sup>8</sup> are, at each occurrence independently selected from H or OH.

22. A compound of claim 1, wherein R<sup>9</sup> is phenyl substituted with 2 R<sup>e</sup>.

20 23. A compound of claim 1, wherein R<sup>10</sup> is phenyl substituted with 2 R<sup>e</sup>.

24. A compound of formula (I) selected from:

N<sup>2</sup>-[(3,5-difluorophenyl)acetyl]-N<sup>1</sup>-[(2*R*,3*R*)-2-(2,5-difluorophenyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-alaninamide;

25 N<sup>1</sup>-[(2*R*,3*R*)-5-cyclohexyl-2-(2,5-difluorophenyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-N<sup>2</sup>-[(3,5-difluorophenyl)acetyl]-L-alaninamide;

N<sup>2</sup>-[(3,5-difluorophenyl)acetyl]-N<sup>1</sup>-{(2*R*,3*R*)-2-(2,5-difluorophenyl)-5-[2-(dimethylamino)ethyl]-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl}-L-alaninamide;

30 N<sup>2</sup>-[(3,5-difluorophenyl)acetyl]-N<sup>1</sup>-[(2*R*,3*R*)-2-(2,5-difluorophenyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-serinamide;

N<sup>2</sup>-[(3,5-difluorophenyl)acetyl]-N<sup>1</sup>-[(2*R*,3*R*)-2-(2,5-difluorophenyl)-5-methyl-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-alaninamide;

$N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(2*R*,3*S*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-L-alaninamide;

$N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(6*R*,7*R*)-5-oxo-7-phenyl-1,4-thiazepan-6-yl]-L-alaninamide;

5  $N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(3*S*,4*R*)-8-fluoro-2-oxo-4-phenyl-2,3,4,5-tetrahydro-1*H*-1-benzazepin-3-yl]-L-alaninamide;

$N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(2*R*,3*R*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-alaninamide;

10  $N^1$ -[(2*R*,3*R*)-2-(3,4-dichlorophenyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- $N^2$ -[(3,5-difluorophenyl)acetyl]-L-alaninamide;

$N^1$ -[(2*R*,3*R*)-2-(4-chlorophenyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- $N^2$ -[(3,5-difluorophenyl)acetyl]-L-alaninamide;

$N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(2*R*,3*R*)-2-(4-methylphenyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-alaninamide;

15  $N^1$ -[(2*R*,3*R*)-7-chloro-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- $N^2$ -[(3,5-difluorophenyl)acetyl]-L-alaninamide;

$N^1$ -[(2*R*,3*R*)-7-chloro-5-[2-(dimethylamino)ethyl]-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- $N^2$ -[(3,5-difluorophenyl)acetyl]-L-alaninamide;

20  $N^1$ -[(2*R*,3*R*)-2-(3-chlorophenyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- $N^2$ -[(3,5-difluorophenyl)acetyl]-L-alaninamide;

$N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(2*R*,3*R*)-2-(3,5-difluorophenyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-alaninamide;

$N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(2*R*,3*R*)-2-(3,5-difluorophenyl)-5-methyl-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-alaninamide;

25  $N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(2*R*,3*R*)-2-(2-fluorophenyl)-5-methyl-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-alaninamide;

$N^1$ -[(2*R*,3*R*)-2-(3-chlorophenyl)-5-[2-(dimethylamino)ethyl]-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- $N^2$ -[(3,5-difluorophenyl)acetyl]-L-alaninamide;

30  $N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(2*R*,3*R*)-2-(2,5-difluorophenyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-D-serinamide;

$N^1$ -[(2*R*,3*R*)-2-(3-chlorophenyl)-5-methyl-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- $N^2$ -[(3,5-difluorophenyl)acetyl]-L-alaninamide;

$N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(2*R*,3*R*)-5-methyl-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-alaninamide;

$N^1$ -[(2*R*,3*R*)-5-cyclohexyl-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- $N^2$ -[(3,5-difluorophenyl)acetyl]-L-alaninamide;

5  $N^1$ -[(2*R*,3*R*)-7-chloro-5-cyclohexyl-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- $N^2$ -[(3,5-difluorophenyl)acetyl]-L-alaninamide;

$N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(6*R*,7*R*)-7-(1-naphthyl)-5-oxo-1,4-thiazepan-6-yl]-L-alaninamide;

10 (2*S*)-2-{[(3,5-difluorophenyl)acetyl]amino}-N-[(6*R*,7*R*)-7-(1-naphthyl)-5-oxo-1,4-thiazepan-6-yl]-2-phenylacetamide;

(2*S*)-2-hydroxy-4-methyl-N-((1*S*)-2-{[(6*R*,7*R*)-7-(1-naphthyl)-5-oxo-1,4-thiazepan-6-yl]amino}-2-oxo-1-phenylethyl)pentanamide;

(2*S*)-2-hydroxy-4-methyl-N-((1*S*)-2-oxo-2-{[(2*R*,3*S*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]amino}-1-phenylethyl)pentanamide;

15  $N^2$ -[(2*S*)-2-hydroxy-4-methylpentanoyl]- $N^1$ -[(2*R*,3*S*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-L-leucinamide;

$N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(6*S*,7*R*)-4-methyl-5-oxo-7-phenyl-1,4-oxazepan-6-yl]-L-alaninamide;

20  $N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(2*S*,6*S*,7*R*)-4-methyl-5-oxo-2,7-diphenyl-1,4-oxazepan-6-yl]-L-alaninamide;

$N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(6*R*,7*R*)-4-methyl-5-oxo-7-phenyl-1,4-thiazepan-6-yl]-L-alaninamide;

$N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(3*R*,6*S*,7*R*)-4-methyl-5-oxo-3,7-diphenyl-1,4-oxazepan-6-yl]-L-alaninamide;;

25 (2*S*)-2-hydroxy-4-methyl-N-((1*S*)-2-{[(6*S*,7*R*)-4-methyl-5-oxo-7-phenyl-1,4-oxazepan-6-yl]amino}-2-oxo-1-phenylethyl)pentanamide;

(2*S*)-2-{[(3,5-difluorophenyl)acetyl]amino}-N-[(6*S*,7*R*)-4-methyl-5-oxo-7-phenyl-1,4-oxazepan-6-yl]-2-phenylacetamide;

30 (2*S*)-2-cyclohexyl-2-{[(3,5-difluorophenyl)acetyl]amino}-N-[(3*R*,6*S*,7*R*)-4-methyl-5-oxo-3,7-diphenyl-1,4-oxazepan-6-yl]acetamide;

(2*S*)-2-{[(3,5-difluorophenyl)acetyl]amino}-N-[(3*R*,6*S*,7*R*)-4-methyl-5-oxo-3,7-diphenyl-1,4-oxazepan-6-yl]-2-phenylacetamide;

- $N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(6*S*,7*R*)-4-(4-methoxybenzyl)-5-oxo-7-phenyl-1,4-oxazepan-6-yl]-L-alaninamide;
- $N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(2*R*,3*S*,5*aR*,9*aR*)-5-methyl-4-oxo-2-phenyldecahydro-1,5-benzoxazepin-3-yl]-L-alaninamide;
- 5 (2*S*)-2-{[(3,5-difluorophenyl)acetyl]amino}- $N$ -[(6*S*,7*R*)-4-(4-methoxybenzyl)-5-oxo-7-phenyl-1,4-oxazepan-6-yl]-2-phenylacetamide;
- $N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(2*R*,3*R*)-2-(4-methoxyphenyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-alaninamide;
- $N^1$ -[(2*R*,3*R*)-7-chloro-2-(2,5-difluorophenyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- $N^2$ -[(2*S*)-2-(3,5-difluorophenyl)-2-hydroxyacetyl]-L-alaninamide;
- 10  $N^2$ -[(2*S*)-2-hydroxy-4-methyl-1-oxopentyl]- $N^1$ -[(2*R*,3*S*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-L-alaninamide;
- $N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(2*R*,3*S*)-5-methyl-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-L-alaninamide;
- 15  $N^1$ -[(2*R*,3*R*)-7-chloro-2-(2,5-difluorophenyl)-5-methyl-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- $N^2$ -[(3,5-difluorophenyl)acetyl]-L-alaninamide;
- $N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(2*R*,3*S*)-5-[2-(dimethylamino)ethyl]-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-L-alaninamide;
- $N^1$ -[(2*R*,3*R*)-7-chloro-2-(2,5-difluorophenyl)-4-oxo-5-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- $N^2$ -[(3,5-difluorophenyl)acetyl]-L-alaninamide;
- 20  $N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(6*R*,7*R*)-5-oxo-7-phenyl-1,4-thiazepan-6-yl]-L-phenylalaninamide;
- $N^2$ -[(2*S*)-2-hydroxy-4-methylpentanoyl]- $N^1$ -[(6*R*,7*R*)-5-oxo-7-phenyl-1,4-thiazepan-6-yl]-L-phenylalaninamide;
- 25 (2*S*)-2-{[(3,5-difluorophenyl)acetyl]amino}- $N$ -[(6*R*,7*R*)-5-oxo-7-phenyl-1,4-thiazepan-6-yl]-2-phenylacetamide;
- (2*S*)-2-hydroxy-4-methyl- $N$ -[(1*S*)-2-oxo-2-{[(6*R*,7*R*)-5-oxo-7-phenyl-1,4-thiazepan-6-yl]amino}-1-phenylethyl]pentanamide;
- $N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(6*R*,7*R*)-5-oxo-7-phenyl-1,4-thiazepan-6-yl]-L-
- 30 leucinamide;
- $N^2$ -[(2*S*)-2-hydroxy-4-methylpentanoyl]- $N^1$ -[(6*R*,7*R*)-5-oxo-7-phenyl-1,4-thiazepan-6-yl]-L-leucinamide;

$N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(6*R*,7*R*)-5-oxo-7-phenyl-1,4-thiazepan-6-yl]-L-valinamide;

$N^2$ -[(2*S*)-2-hydroxy-4-methylpentanoyl]- $N^1$ -[(6*R*,7*R*)-5-oxo-7-phenyl-1,4-thiazepan-6-yl]-L-valinamide;

5  $N^1$ -[(2*R*,3*S*)-7-chloro-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]- $N^2$ -[(3,5-difluorophenyl)acetyl]-L-alaninamide;

(2*S*)- $N$ -[(1*S*)-2-[(2*R*,3*S*)-7-chloro-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]amino]-2-oxo-1-phenylethyl-2-hydroxy-4-methylpentanamide;

(2*S*)-2-[(3,5-difluorophenyl)acetyl]amino)- $N$ -[(2*R*,3*S*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-2-phenylacetamide;

10

$N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(2*R*,3*S*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-L-serinamide;

(2*S*)-2-cyclohexyl-2-[(3,5-difluorophenyl)acetyl]amino)- $N$ -[(2*R*,3*S*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]acetamide;

15 (2*S*)- $N$ -[(1*S*)-1-cyclohexyl-2-oxo-2-[(2*R*,3*S*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]amino)ethyl-2-hydroxy-4-methylpentanamide;

3-cyclohexyl- $N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(6*R*,7*R*)-5-oxo-7-phenyl-1,4-thiazepan-6-yl]-L-alaninamide;

$N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(2*R*,3*S*)-5-(2-morpholin-4-ylethyl)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-L-alaninamide;

20

$N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(2*R*,3*S*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-L-leucinamide;

(2*S*)-2-[(3,5-difluorophenyl)acetyl]amino)-2-(4-fluorophenyl)- $N$ -[(2*R*,3*S*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]acetamide;

25 (2*S*)-2-[(cyclohexylacetyl)amino]-2-(4-fluorophenyl)- $N$ -[(2*R*,3*S*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]acetamide;

$N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(2*R*,3*S*)-4-oxo-2-phenyl-5-prop-2-yn-1-yl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-L-alaninamide;

$N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(2*R*,3*S*)-7-methoxy-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-L-alaninamide;

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$N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(2*R*,3*S*)-5-isopropyl-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-L-alaninamide;

- methyl [(2*R*,3*S*)-3-(*N*-[(3,5-difluorophenyl)acetyl]-*L*-alanyl) amino)-4-oxo-2-phenyl-3,4-dihydro-1,5-benzoxazepin-5(2*H*)-yl]acetate;  
 [(2*R*,3*S*)-3-(*N*-[(3,5-difluorophenyl)acetyl]-*L*-alanyl) amino)-4-oxo-2-phenyl-3,4-dihydro-1,5-benzoxazepin-5(2*H*)-yl]acetic acid;
- 5 *N*<sup>1</sup>-[(2*R*,3*S*)-5-(cyclopropylmethyl)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-*N*<sup>2</sup>-[(3,5-difluorophenyl)acetyl]-*L*-alaninamide;  
*N*<sup>1</sup>-[(2*R*,3*S*)-5-(cyclopropylmethyl)-7-methoxy-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-*N*<sup>2</sup>-[(3,5-difluorophenyl)acetyl]-*L*-alaninamide;  
*N*<sup>1</sup>-[(2*R*,3*S*)-5-(2-azetidin-1-yl-2-oxoethyl)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-*N*<sup>2</sup>-[(3,5-difluorophenyl)acetyl]-*L*-alaninamide;
- 10 *N*<sup>2</sup>-[(3,5-difluorophenyl)acetyl]-*N*<sup>1</sup>-[(2*R*,3*S*)-7-fluoro-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-*L*-alaninamide;  
 (2*S*)-*N*-((1*S*)-2-{[(2*R*,3*S*)-7-fluoro-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]amino}-2-oxo-1-phenylethyl)-2-hydroxy-4-methylpentanamide;
- 15 *N*<sup>2</sup>-[(2*R*)-2-(3,5-difluorophenyl)-2-hydroxyacetyl]-*N*<sup>1</sup>-[(2*R*,3*S*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-*L*-alaninamide;  
*N*<sup>2</sup>-[(2*S*)-2-(3,5-difluorophenyl)-2-hydroxyacetyl]-*N*<sup>1</sup>-[(2*R*,3*S*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-*L*-alaninamide;  
*N*<sup>2</sup>-[(3,5-difluorophenyl)acetyl]-*N*<sup>1</sup>-[(3*S*,4*R*)-2-oxo-4-phenyl-2,3,4,5-tetrahydro-1*H*-1-benzazepin-3-yl]-*L*-alaninamide;
- 20 *N*<sup>2</sup>-[(3,5-difluorophenyl)acetyl]-*N*<sup>1</sup>-[(3*S*,4*R*)-8-fluoro-1-methyl-2-oxo-4-phenyl-2,3,4,5-tetrahydro-1*H*-1-benzazepin-3-yl]-*L*-alaninamide;  
 (2*S*)-*N*-((1*S*)-2-{[(3*S*,4*R*)-8-fluoro-2-oxo-4-phenyl-2,3,4,5-tetrahydro-1*H*-1-benzazepin-3-yl]amino}-2-oxo-1-phenylethyl)-2-hydroxy-4-methylpentanamide;
- 25 (2*S*)-2-hydroxy-4-methyl-*N*-((1*S*)-2-oxo-2-{[(3*S*,4*R*)-2-oxo-4-phenyl-2,3,4,5-tetrahydro-1*H*-1-benzazepin-3-yl]amino}-1-phenylethyl)pentanamide;  
*N*<sup>2</sup>-[(3,5-difluorophenyl)acetyl]-*N*<sup>1</sup>-[(3*S*,4*R*)-2-oxo-4-phenyl-1-prop-2-yn-1-yl-2,3,4,5-tetrahydro-1*H*-1-benzazepin-3-yl]-*L*-alaninamide;
- 30 *N*<sup>1</sup>-[(3*S*,4*R*)-1-(cyclopropylmethyl)-2-oxo-4-phenyl-2,3,4,5-tetrahydro-1*H*-1-benzazepin-3-yl]-*N*<sup>2</sup>-[(3,5-difluorophenyl)acetyl]-*L*-alaninamide;  
*N*<sup>2</sup>-[(3,5-difluorophenyl)acetyl]-*N*<sup>1</sup>-[(3*S*,4*R*)-1-isopropyl-2-oxo-4-phenyl-2,3,4,5-tetrahydro-1*H*-1-benzazepin-3-yl]-*L*-alaninamide;

- $N^2$ -[(2*S*)-2-hydroxy-4-methyl-1-oxopentyl]- $N^1$ -[(2*R*,3*R*)-2-(4-methoxyphenyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-alaninamide;
- $N^1$ -[(2*R*,3*R*)-2-(2-chlorophenyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- $N^2$ -[(2*S*)-2-hydroxy-4-methyl-1-oxopentyl]-L-alaninamide;
- 5  $N^1$ -[(2*R*,3*R*)-2-(2-chlorophenyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- $N^2$ -[(3,5-difluorophenyl)acetyl]-L-alaninamide;
- $N^1$ -[(2*R*,3*R*)-7-chloro-5-methyl-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- $N^2$ -[(3,5-difluorophenyl)acetyl]-L-alaninamide;
- $N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(2*R*,3*R*)-2-(2-fluorophenyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-alaninamide;
- 10  $N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(2*R*,3*R*)-2-(4-fluorophenyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-alaninamide;
- $N^1$ -[(2*R*,3*R*)-7-chloro-2-(2,5-difluorophenyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- $N^2$ -[(3,5-difluorophenyl)acetyl]-L-alaninamide;
- 15  $N^2$ -[(2*S*)-2-hydroxy-4-methyl-1-oxopentyl]- $N^1$ -[(6*R*,7*R*)-5-oxo-7-phenyl-1,4-thiazepan-6-yl]-L-alaninamide;
- $N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(2*S*,3*R*)-2-(3-methyl-2-thienyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-alaninamide;
- $N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(2*S*,3*R*)-2-(4-methyl-2-thienyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-alaninamide;
- 20 Methyl 5-[(2*S*,3*R*)-3-( $\{N$ -[(3,5-difluorophenyl)acetyl]-L-alanyl)amino)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-2-yl]thiophene-3-carboxylate;
- $N^1$ -[(2*R*,3*R*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- $N^2$ -(phenylacetyl)-L-alaninamide;
- 25  $N^1$ -[(2*R*,3*R*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- $N^2$ -(2-phenylethyl)-L-alaninamide;
- $N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(2*S*,3*R*)-4-oxo-2-(2-thienyl)-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-alaninamide;
- $N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(2*R*,3*R*)-4-oxo-2-(3-thienyl)-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-alaninamide;
- 30  $N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(2*S*,3*R*)-2-(2-furyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-alaninamide;



- $N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(2*R*,3*R*)-2-(3-furyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-alaninamide;
- $N^1$ -[(2*S*,3*R*)-2-(5-bromo-2-thienyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- $N^2$ -[(3,5-difluorophenyl)acetyl]-L-alaninamide;
- 5  $N^1$ -[(2*S*,3*R*)-2-(4-bromo-2-thienyl)-4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]- $N^2$ -[(3,5-difluorophenyl)acetyl]-L-alaninamide;
- $N$ -[(3,5-difluorophenyl)acetyl]- $N$ -[(2*R*,3*R*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-phenylalaninamide;
- $N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(2*R*,3*R*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]glycinamide;
- 10  $N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(2*R*,3*R*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-valinamide;
- $N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(2*R*,3*R*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-leucinamide;
- 15  $N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(2*R*,3*R*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-methioninamide;
- $N^2$ -[(3,5-difluorophenyl)acetyl]-3-(1*H*-indol-2-yl)- $N^1$ -[(2*R*,3*R*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-alaninamide;
- $N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(2*R*,3*R*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-a-asparagine;
- 20  $N^2$ -[(3,5-difluorophenyl)acetyl]- $N^1$ -[(2*R*,3*R*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-3-yl]-L-a-glutamine;
- $N^1$ -[(2*R*,3*S*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]- $N^2$ -(phenylacetyl)-L-alaninamide;
- 25  $N^2$ -[(2-fluorophenyl)acetyl]- $N^1$ -[(2*R*,3*S*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-L-alaninamide;
- $N^2$ -[(3-fluorophenyl)acetyl]- $N^1$ -[(2*R*,3*S*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-L-alaninamide;
- $N^2$ -[(4-fluorophenyl)acetyl]- $N^1$ -[(2*R*,3*S*)-4-oxo-2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-3-yl]-L-alaninamide;
- 30  $N^1$ -[(2*R*,3*S*,5*aS*,9*aS*)-5-(cyclopropylmethyl)-4-oxo-2-phenyldecahydro-1,5-benzoxazepin-3-yl]- $N^2$ -[(3,5-difluorophenyl)acetyl]-L-alaninamide.
- or a pharmaceutical acceptable salt thereof.

25. A compound according to any one of claims 1 to 24, for use as a medicament.

26. The use of a compound as defined in any one of claims 1 to 24, in the manufacture of  
5 a medicament for the treatment or prophylaxis of disorders associated with  $\beta$ -amyloid  
production, Alzheimer's disease, or Down's Syndrome.

27. A method for the treatment of neurological disorders associated with  $\beta$ -amyloid  
production comprising administering to a host in need of such treatment a therapeutically  
10 effective amount of a compound in any one of claims 1 to 24.

28. A method for inhibiting  $\gamma$ -secretase activity comprising administering to a host in need  
of such inhibition a therapeutically effective amount of a compound in any one of claims 1 to  
24 that inhibits  $\gamma$ -secretase activity.

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29. A method for the treatment or prophylaxis of Alzheimer's disease, or Down's  
Syndrome comprising administering a therapeutically effective amount of a compound of  
formula (I) or a pharmaceutically acceptable salt as claimed in any one of claims 1 to 24.

20 30. A pharmaceutical composition comprising a compound of formula (I), as defined in  
any one of claims 1 to 24, together with at least one pharmaceutically acceptable carrier,  
diluent or excipient.